

# STIC Search Report Biotech-Chem Library

## STIC Database Tracking Number 1982

TO: Michael Meller Location: 3c03 / 3c18

Art Unit: 1655

Wednesday, June 21, 2006

Case Serial Number: 10/695930

From: Noble Jarrell

**Location: Biotech-Chem Library** 

**Rem 1B71** 

Phone: 272-2556

Noble.jarrell@uspto.gov

Search Notes		



### Scientific and Technical Information Center

	SEARCH REQUES	ST FORM	
n Mil	a Meller	CAUNT Charle	
Requester's Full Name: Phone	Number: 27 - 0967	miner # : 67 909 Date: 6 12909 . Serial Number: 101691, 930	
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To ensure an efficient and quality search,	olease attach a copy of the cover she	eet, claims, and abstract or fill out the following:	
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Title of Invention:	100 The prej	The state of the s	-
Inventors (please provide full narries):	Malter Brief	den, Joset schvoer, christin	16
Sernegger - Cg/1,	Ela UV ban,	Wichael Pefersen, Tean-Jay	/
Earliest Priority Date:	127/1997	Fadu if	
Search Topic:			
Please provide a detailed statement of the se	arch topic, and describe as specificall	ly as possible the subject matter to be searched. Include the ers, and combine with the concept or utility of the invention.	
Define any terms that may have a special me	aning. Give examples or relevant cit	tations, authors, etc., if known.	
*For Sequence Searches Only* Please incli	ide all pertinent information (parent,	, child, divisional, or issued patent numbers) along with the	
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The following listing of claims replaces all prior versions, and listings, of claims in this application.

Claim 8 (Currently Amended): Process for the preparation of (1S,4R)- or (1R,4S)-1-amino-4-(hydroxymethyl)-2-cyclopentene of the formulae

or salts thereof and/or of (1S,4R)- or (1R,4S)-1-amino-4-(hydroxymethyl)-2-cyclopentene derivatives of the general formulae

or salts thereof, in which X and Y are identical or different and are an acyl group or H, with the exception of X = Y = H, comprising the racemate resolution of racemic aminoalcohol of the formula

either by chemical means using an optically active tartaric acid or biotechnological means using a hydrolase in the presence of an acylating agent.

Claim 9 (Currently Amended): Process according to Patent Claim 8, characterized in that the biotechnological recemate resolution is carried out using a lipase, and the chemical recemate resolution is carried out using D-(-)- or L-(+)-tartaric acid.

Claims 10-13 (Canceled)

Claim 14 (Original): (1R,4S)-1-Amino-4-(hydroxymethyl)-2-cyclopentene D- or L-hydrogentartrate.

Claim 15 (Original): (1S,4R)-1-Amino-4-(hydroxymethyl)-2-cyclopentene L- or D-hydrogentartrate.

 $C_6H_{11}NO$ 

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2006 HIGHEST RN 888507-19-5 DICTIONARY FILE UPDATES: 20 JUN 2006 HIGHEST RN 888507-19-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

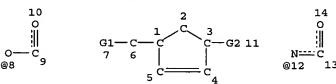
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d que sta l17 L15 STR



VAR G1=OH/8
VAR G2=NH2/12
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 9
CONNECT IS M1 RC AT 13
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L17 62 SEA FILE=REGISTRY CSS FUL L15

100.0% PROCESSED 1437 ITERATIONS SEARCH TIME: 00.00.01 62 ANSWERS

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FILE COVERS 1907 - 21 Jun 2006 VOL 144 ISS 26 FILE LAST UPDATED: 20 Jun 2006 (20060620/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs fhitstr hitrn 138 tot

- L38 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
- 2004:349089 HCAPLUS AN
- DN 141:49448
- A new amidohydrolase from Bordetella or Alcaligenes strain FB188 with similarities to histone deacetylases
- ΑU Hildmann, Christian; Ninkovic, Milena; Dietrich, Ruediger; Wegener, Dennis; Riester, Daniel; Zimmermann, Thomas; Birch, Olwen M.; Bernegger, Christine; Loidl, Peter; Schwienhorst, Andreas
- Abteilung fuer Molekulare Genetik und Praeparative Molekularbiologie, CS Institut fuer Mikrobiologie und Genetik, Goettingen, D-37077, Germany Journal of Bacteriology (2004), 186(8) 2328-2339
- SO CODEN: JOBAAY; ISSN: 0021-9193
- American Society for Microbiology PB
- DT Journal
- LΑ English
- The full-length gene encoding the histone deacetylase (HDAC)-like AB amidohydrolase (HDAH) from Bordetella or Alcaligenes (Bordetella/Alcaligenes) strain FB188 (DSM 11172) was cloned using degenerate primer PCR combined with inverse-PCR techniques and ultimately expressed in Escherichia coli. The expressed enzyme was biochem. characterized and found to be similar to the native enzyme for all properties examined Nucleotide sequence anal. revealed an open reading frame of 1110 bp which encodes a polypeptide with a theor. mol. mass of 39 kDa. Interestingly, peptide sequencing disclosed that the N-terminal methionine is lacking in the mature yild-type enzyme, presumably due to the action of methionyl aminopeptidase. Sequence database searches suggest that the new/amidohydrolasé belongs to the HDAC superfamily, with the closest homologs being found in the subfamily assigned acetylpolyamine amidohydrolases (APAH). The APAH subfamily comprises enzymes or putative enzymes from such diverse microorganisms as Pseudomonas aeruginosa, Archaeoglobus fulgidus, and the actinomycete Mycoplana ramosa (formerly M. bullata). The FB188 HDAH, however, is only moderately active in catalyzing the deacetylation of acetylpolyamines. In fact, FB188 HDAH exhibits significant activity in standard HDAC assays and is inhibited by known HDAC inhibitors such as trichostatin A and suberoylanilide hydroxamic acid (SAHA). Several lines of evidence indicate that the FB188 HDAH is very similar to class 1 and 2 HDACs and contains a Zn2+ ion in the

active site which contributes significantly to catalytic activity. The stereoselectivity, low substrate specificity, and a broad optimum pH range may be useful in biotechnol. applications.

IT 136522-35-5

> RL: BSU (Biological study, unclassified); BIOL (Biological study) (product; cloning and characterization of histone deacetylase-like amidohydrolase (hdah1) from Bordetella/Alcaligenes strain FB188)

RN 136522-35-5 HCAPLUS

2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

IT 136522-35-5

RL: BSU (Biological study, unclassified); BIOL (Biological study) (product; cloning and characterization of histone deacetylase-like amidohydrolase (hdah1) from Bordetella/Alcaligenes strain FB188)

TΤ 130931-86-1

> RL: BSU (Biological study, unclassified); BIOL (Biological study) (substrate, kinetics; cloning and characterization of histone deacetylase-like amidohydrolase (hdah1) from Bordetella/Alcaligenes strain FB188)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:457234 HCAPLUS

DN 133:72985

Method for producing optically active 1-amino-4-(hydroxymethyl)-cyclopent-TI 2-ene derivatives

Brieden, Walter; Etter, Kay-sara; Petersen, Michael IN

A1

PA Lonza A.-G., Switz.

US2002042108

PCT Int. Appl., 13 pp. SO

CODEN: PIXXD2

דת Patent

LΑ German

FAN.CNT 1 PATENT NO. APPLICATION NO. KIND DATE DATE PΙ WO2000039324 **A2** ₹0000706 1999WO\_EP10382 19991223 20000921 WO2000039324 **A3** AE, AL, AM, AT, AU, AZ, BA, BB, BØ, BR, BY, CA, CH, CN, CR, CU, ₹I, GB, GD, GE, GH, GM, HR, HU, ID, IL, CZ, DE, DK, DM, EE, ES, IN, IS, JP, KE, KG, KP, KR  $ar{\mathsf{KZ}}$ , LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, TR, TT, TZ/ UA, UG, US, UZ, VN, YU, ZA, ZW, AM, SK, SL, TJ, TM, AZ, BY, KG, KZ, MD, RU, 2J, TM RW: GH, GM, KE, LS, MW, SD SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, LU,) MC, NL, PT, SE, BF, BJ, CF, DK, ES, FI, GR, IE, IT, FR, GB, ØW, ML, MR, NE/ SN, TD, TG CG, CI, CM, GA, GN, CA---2354382 AΑ **2**0000706 19ø9CA-2354382 19991223 1⁄999EP-0965556 EP---1141374 **A2** 20011010 19991223 EP---1141374 20031029 В1 R: AT, BE, CH, DE, DK, ES, ER, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, -RO JP2003520018 T2 20030702 2000JP-0591213 19991223 AT----253125 E 20031115 1999AT-0965556 19991223 PT---1141374 Т 20040331 1999PT-0965556 19991223 ES---2211216 T3 20040701 1999ES-0965556 19991223 NO2001003037 20010619 2001NO-0003037 20010619 Α

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PRAI 1998EP-0124570
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     1999US-145999P
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                                  19990729
     1999US-145959P
                           P
                                  19990729
     1999WO-EP10382
                           W
                                  19991223
os
     MARPAT 133:72985
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AB The invention provides a new method for producing enantiomer-enriched 1-amino-4-(hydroxymethyl)-cyclopent-2-ene derivs. of the general formulas (I) and (II) in which R1 is hydrogen or a substituted C1-8 alkyl residue, aryl residue or cycloalkyl residue and R2 is a substituted acyl. A racemic 1-amino-4-(hydroxymethyl)-cyclopent-2-ene derivative of general formula (III), where R1 is as above, is converted to I and II using a hydrolase and in the presence of an acylation agent. Thus, cis-N-Acetyl-1-amino-4-(hydroxymethyl)-cyclopent-2-ene dissolved in 2-methyl-2-butanol in the presence of Lipase M and vinyl butyrate was converted to a mixture of (1S, 4R)-N-Acetyl-1-amino-4-(hydroxymethyl)cyclopent-2-ene and (1R, 4S)-N-Acetyl-1-amino-4-(propylcarbonyloxymethyl)cyclopent-2-ene with a selectivity of over 98.5%. The mixture was then separated via silica gel chromatog. to yield enantiomerically pure or enriched fractions. The reaction could catalyzed with other lipases or subtilisin in dioxane or tributyrin solvent systems.

IT 130931-86-1P

> RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (method for producing optically active 1-amino-4-(hydroxymethyl)cyclopent-2-ene derivs.)

130931-86-1 HCAPLUS RN

CN Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 130931-86-1P 280115-03-9P RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (method for producing optically active 1-amino-4-(hydroxymethyl)cyclopent-2-ene derivs.) IT 65942-42-9 RL: RCT (Reactant); RACT (Reactant or reagent) (method for producing optically active 1-amino-4-(hydroxymethyl)cyclopent-2-ene derivs.) L38 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN AN 2000:314678 HCAPLUS DN 132:308602

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Preparation of 4-[(2,5-diamino-6-halopyrimidin-4-yl)amino]cyclopent-2-
ΤI
     enylmethanols from 2,5-diamino-4,6-dihalopyrimidines and
     4-aminocyclopent-2-enylmethanol.
     Brieden, Walter; Saikali, Elie
IN
PA
     Lonza A.-G., Switz.
SO
     PCT Int. Appl., 21 pp.
     CODEN: PIXXD2
DT
     Patent
     German
LA
FAN.CNT 1
     PATENT NO.
                                                APPLICATION NO.
                                                                          DATE
                           KIND
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     WO2000026193
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PRAI 1998EP-0120529
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     1999WO-EP08270
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os
     CASREACT 132:308602
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AB

Title compds. (I; X = halo) were prepared by reaction of 2,5-diamino-4,6-dihalopyrimidines with 4-aminocyclopent-2-enylmethanol in the presence of base and in a polar protic solvent. Thus, (1S, 4R)-4-aminocyclopent-2-enylmethanol hydrochloride, 2,5-diamino-4,6-dichloropyrimidine, and NaHCO3 were refluxed 16 h in EtOH to give 60% I (X = Cl). TT 168960-19-8 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 4-[(2,5-diamino-6-halopyrimidin-4-y1)amino]cyclopent-2enylmethanols from 2,5-diamino-4,6-dihalopyrimidines and 4-aminocyclopent-2-enylmethanol) 168960-19-8 HCAPLUS RN 2-Cyclopentene-1-methanol, 4-amino-, hydrochloride, (1S,4R)- (9CI) CN

#### INDEX NAME)

Absolute stereochemistry. Rotation (-).

#### HCl

GI

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IT
     168960-19-8 229177-39-3
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (preparation of 4-[(2,5-diamino-6-halopyrimidin-4-yl)amino]cyclopent-2-
        enylmethanols from 2,5-diamino-4,6-dihalopyrimidines and
        4-aminocyclopent-2-enylmethanol)
               THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L38
     ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
     2000:53929 HCAPLUS
AN
DN
     132:107046
ΤI
     Preparation of optically active azabicycloheptenone derivatives by
     stereospecific enzymic hydrolysis
IN
     Bernegger-Egli, Christine; Brux, Frank; Roduit, Jean
     Paul; Werbitzky, Oleg; Guggisberg, Yves
PA
     Lonza A.-G., Switz.
     PCT Int. Appl., 27 pp.
SO
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$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

AB The invention relates to a biotechnol. method for producing optically active compds. of general formulas (I) and (II), wherein R1 represents acyl or acyloxy, and R2 represents H or C1-C10 alkyl, by reaction of the racemic lactam using a hydrolase in the presence of a nucleophile and in the presence of a base in a constant pH range. The invention also relates to the subsequent conversion of compound I into the optically active 1-amino-4-(hydroxymethyl)-2-cyclopentene of formula (III). Racemic 2-acetyl-2-azabicyclo[2.2.1]hept-5-en-3-one 419.25 mL was diluted with water 60 mL and a com. subtilisin solution 31.5 mL. This solution was brought to pH 7.5 and incubated at 30° with vigorous stirring. After 45 h (1R,4S)-2-Acetyl-2-azabicyclo[2.2.1]hept-5-en-3-one with an ee 99% was obtained. Final yield of purified product was 31%.

II 136522-35-5DP, derivs.

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of optically active azabicycloheptenone derivs. by stereospecific enzymic hydrolysis)

RN 136522-35-5 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 136522-35-5DP, derivs.

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of optically active azabicycloheptenone derivs. by stereospecific enzymic hydrolysis)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L38 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
- AN 1999:425590 HCAPLUS
- DN 131:73379
- TI Preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates
- IN Brieden, Walter; Schroer, Josef; Bernegger-Egli, Christine; Urban, Eva Maria; Petersen, Michael; Roduit, Jean-Paul; Berchtold, Katja; Breitbach, Holger
- PA Lonza A.-G., Switz.
- SO Eur. Pat. Appl., 28 pp.

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CODEN: EPXXDW
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PRAI 1997CH-0002739
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    2004EP-0002913
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    1998US-0198427
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    1998IL-0127277
                       A3
                              19981126
    Title compds. were prepared by metal hydride reduction of 2-
AB
    azabicyclo[2.2.1]hept-5-en-3-one.
IT
    65942-42-9P
    RL: IMF (Industrial manufacture); SPN (Synthetic
    preparation); PREP (Preparation); PREP
     (Preparation); RACT (Reactant or reagent)
       (preparation of 4-amino-2-cyclopentenemethanol
       enantiomers as drug intermediates)
RN
    65942-42-9 HCAPLUS
CN
    Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (9CI)
     (CA INDEX NAME)
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Relative stereochemistry.

(preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates)
IT 122624-72-0P 130931-86-1P 136522-30-0P

IT 122624-72-0P 130931-86-1P 136522-30-0P 136522-35-5P 168960-18-7P 216481-85-5P 229177-39-3P 229177-46-2P 229177-49-5P 229177-52-0P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates)

IT 168960-19-8 229177-60-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates)

- L38 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
- AN 1998:760072 HCAPLUS
- DN 130:24137
- TI Multistep process for the preparation of (1S,4R) and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol
- IN Bernegger, Christine; Urban, Eva-Maria; Birch, Olwen
  Mary; Burgdorf, Kurt; Brux, Frank; Etter, Kay-Sara; Bossard, Pierre;
  Brieden, Walter; Duc, Laurent; Gordon, John; O'murchu, Colm;
  Guggisberg, Yves
- PA Lonza Ag, Switz.
- SO Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

- DT Patent
- LA German

FAN.CNT 1

PΙ

. С	CNT 1																
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	US62	6229	5		B1		2001	0717		1999	US-0	3738	56		1	9990	813

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     1998EP-0108721
                          АЗ
                                19980513
     CASREACT 130:24137; MARPAT 130:24137
os
     A new procedure for the production of (1S,4R)- (I) or (1R,4S)-4-(2-amino-6-
AB
     chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol (II) is claimed.
     (t)-2-Azabicyclo[2.2.1]hept-5-en-3-one is acylated at the amide NH and
     the compound is cleaved to form the racemic acylamino cyclopentene derivative
     This is stereospecifically deacylated by a biotechnol. process to produce
     (1S,4R) - or (1R,4S) -1-amino-4-hydroxymethyl-2-cyclopentene. A 4th step is
     the reaction with N-(2-amino-4,6-dichloropyrimidine-5-yl) formamide to
     produce (1S,4R) - and/or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-
     pyrimidinyl) -amino] -2-cyclopentene-1-methanol
     , which are cyclized to produce compds. I and II.
     168960-19-8P
     RL: BPN (Biosynthetic preparation); SPN (Synthetic
     preparation); SPN (Synthetic preparation); PUR
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     (Preparation)
        (multistep process for the preparation of (1S,4R) - and/or
        (1R, 4S) -4-(2-amino-6-chloro-9-H-purin-9-yl) -2-cyclopentene-1-methanol)
RN
     168960-19-8 HCAPLUS
     2-Cyclopentene-1-methanol, 4-amino-, hydrochloride, (1S,4R)- (9CI)
CN
     INDEX NAME)
Absolute stereochemistry. Rotation (-).
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#### HC1

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     168960-19-8P
     RL: BPN (Biosynthetic preparation); PRP (Properties); PUR
     (Purification or recovery); RCT (Reactant); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation);
     RACT (Reactant or reagent)
        (multistep process for the preparation of (1S,4R) - and/or
        (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
IT
     130931-86-1P 168960-18-7P 216481-85-5P
     RL: BPN (Biosynthetic preparation); PRP (Properties); PUR
     (Purification or recovery); SPN (Synthetic preparation);
     BIOL (Biological study); PREP (Preparation)
        (multistep process for the preparation of (1S,4R) - and/or
        (1R, 4S) -4-(2-amino-6-chloro-9-H-purin-9-yl) -2-cyclopentene-1-methanol)
IT
     RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN
     (Synthetic preparation); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent)
        (multistep process for the preparation of (1S,4R) - and/or
        (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
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     preparation); BIOL (Biological study); PREP (Preparation)
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        (1R, 4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
IT
     199395-80-7P 199395-81-8P 199395-82-9P
     199395-84-1P 199395-85-2P 216481-83-3P
     RL: BPR (Biological process); BSU (Biological study, unclassified); PRP
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(Properties); PUR (Purification or recovery); RCT (Reactant);
     SPN (Synthetic preparation); BIOL (Biological study); PREP
     (Preparation); PROC (Process); RACT (Reactant or reagent)
        (multistep process for the preparation of (1S,4R) - and/or
        (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
IT
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     RL: RCT (Reactant); RACT (Reactant or reagent)
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        (1R, 4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
    ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
1.38
     1997:805825 HCAPLUS
AΝ
DN
     128:32314
     Process for the preparation of amino alcohols and derivatives thereof
ΤI
     Bernegger-Egli, Christine; Birch, Olwen M.; Bossard, Pierre;
     Brieden, Walter; Brux, Frank; Burgdorf, Knut; Duc, Laurent; Etter,
     Kay-Sarah; Guggisberg, Ives; Sauter, Martin; Urban, Eva Maria
Lonza A.-G., Switz.; Bernegger-Egli, Christine; Birch, Olwen M.; Bossard,
PA
     Pierre; Brieden, Walter; Brux, Frank; Burgdorf, Knut; Duc, Laurent
SO
     PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
DΤ
     Patent
LA
     German
FAN.CNT 1
                                              APPLICATION NO.
     PATENT NO.
                          KIND
                                 DATE
                                                                      DATE
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     EP----904348
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os
     CASREACT 128:32314; MARPAT 128:32314
GT
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The invention relates to novel microorganisms which are capable of AB utilizing cyclopentene derivs. of the general formula (I), in which R1 is C1-C4-alkyl, C1-C4-alkoxy, aryl or aryloxy, as the only N source, as the only C source or as the only C and O source. The invention also relates to novel enzymes which hydrolyze the cyclopentene derivs. of the general formula I. The invention also relates to a novel process for the preparation of (1R,4S) or (1S,4R)-1-amino-4(hydroxymethyl)-2-cyclopentene and/or of a (1S,4R) or (1R,4S)-amino alc. derivative in which R1 has the above meaning. TT 136522-30-0P

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); SPN (Synthetic preparation); SPN (Synthetic preparation); PREP (Preparation); PREP (Preparation)

(preparation of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metabolism of the products)

RN 136522-30-0 HCAPLUS

2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

IT 136522-30-0P 136522-35-5P

> RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metabolism of the products)

TT 199395-80-7P 199395-81-8P 199395-82-9P 199395-83-0P 199395-84-1P 199395-85-2P

RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(preparation of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metabolism of the products)

=> d bib abs hitstr 145 tot

ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

1998:394306 HCAPLUS AN

129:54134 DN

preparation and resolution of cyclopentenes synthons of carbocyclic TI nucleosides

IN Sickles, Barry Riddle; Ingold, Kenneth James; Wallis, Christopher John

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

English

LΑ

FAN.CNT 1 PATENT NO. APPLICATION NO. DATE KIND DATE 1997WO-EP06782 19971204 PΙ WO---9824741 A2 19980611 WO---9824741 19980911 A3 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,

US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG 1998AU-0055601 AU---9855601 19980629 **A**1 19971204 <--EP----946496 **A2** 19991006 1997EP-0952036 19971204 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP2001505210 T2 20010417 1998JP-0525202 19971204 <--US---6147254 1999US-0319496 Α 20001114 19990806 <--PRAI 1996GB-0025455 Α 19961207 <--1997WO-EP06782 W 19971204 <--MARPAT 129:54134 os GΙ

HO-CO NHR I

AB Carbocyclic stereoisomers, e.g. I, (R = protecting group) were prepared as synthons of carbocyclic nucleosides. Thus, I (R = Boc) was prepared from racemic lactam II in 6 steps.

IT 168960-18-7P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(preparation and resolution of cyclopentenes synthons of carbocyclic nucleosides)

RN 168960-18-7 HCAPLUS

CN Carbamic acid, [(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

t-BuO H R S OH

L45 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:608462 HCAPLUS

DN 115:208462

TI Preparation of purinyl cyclopentenemethanol derivatives as medical antivirals

IN Daluge, Susan Mary

PA Wellcome Foundation Ltd., UK

SO Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP434450	A2	(19910626)	1990EP-0314089	19901221
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     1990EP-0314089
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                          Α3
os
     MARPAT 115:208462
GI
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AB Title compound I (R = cyclopropylamino, N-cyclopropyl-N-methylamino; A = 1S,4R- or 1R,4S-2-cyclopentene-1-methanol-4-yl) and related analogs were prepared as medical antivirals. Thus,  $(\pm)$ -cis-4-acetamidocyclopent-2enemethyl acetate was converted to the free amine then condensed with dibenzoyl D-tartaric acid and the tartrate formed was converted to (1S,4R)-4-amino-2-cyclopentene-1-methanol. This was condensed with N-(4,6-dichloro-5-formamido-2-pyrimidinyl)acetamie (preparation given) and the resulting product refluxed in diethoxymethyl acetate to give (-)-(1S,4R)-cis-(2-amino-6-chloro-9H-purin-9-yl)-2-cyclopentene-1methanol, which was heated with cyclopropylamine to give (-)-(1S,4R)-cis-I (R = cycloproylamino) (II). II had IC50 of 4.0 ± 1.4 µM against HIV in MT4 cells. I were formulated as e.g., tablets and capsules. TТ 122624-72-0P 136470-89-8P 136522-31-1P 136522-35-5P 136597-78-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for preparation of medical antivirals) RN 122624-72-0 HCAPLUS CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 136470-89-8 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, cis-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 122624-72-0 CMF C6 H11 N O

Relative stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 136522-31-1 HCAPLUS

CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with (1R,4S)-4-amino-2-cyclopentene-1-methanol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 136522-30-0

CMF C6 H11 N O

Absolute stereochemistry. Rotation (+).

CM 2

CRN 2743-38-6 CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

RN 136522-35-5 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

136597-78-9 HCAPLUS RN

Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with CN(1S,4R)-4-amino-2-cyclopentene-1-methanol (1:2) (9CI) (CA INDEX NAME)

CM

CRN 136522-35-5 CMF C6 H11 N O

Absolute stereochemistry. Rotation (-).

CM 2

CRN 17026-42-5 CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).

=> => b uspatall

FILE 'USPATFULL' ENTERED AT 10:48:11 ON 21 JUN 2006 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:48:11 ON 21 JUN 2006 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs fhitstr hitrn 2-3 6 13 148

L48 ANSWER 2 OF 13 USPATFULL on STN

2004:184553 USPATFULL AN

ΤI Process for the preparation of aminoalcohol derivatives and their further conversion to (1R, 4S)-4-(2-amino-6-chloro-5-formamido-4-

pyrimidinyl)-amino)-2-cyclopentenyl-1-methanol Brieden, Walter, Brig, SWITZERLAND Schroer, Josef, Susten, SWITZERLAND Bernegger-Egli, Christine, Munster, SWITZERLAND IN

Urban, Eva (Maria) Visp, SWITZERLAND

noble jarrell 21/06/2006

```
Petersen, Michael, Visp, SWITZERLAND
Roduit, Jean-Paul, Grone, SWITZERLAND
       Berchtold, Katja, Baltschieder, SWITZERLAND
       Breitbach, Holger, Baltschieder, SWITZERLAND
PΙ
       US2004142436
                           A1
                                20040722
ΑI
       2003US-0695930
                           A1
                                20031029 (10)
       Division of Ser. No. 1998US-0198427 filed on 24 Nov 1998, GRANTED, Pat.
RLI
       No. US---6723868
PRAI
       1997CH-0002739
                            19971127
       1997CH-0002781
                            19971203
       1998CH-0000133
                            1998013/1
       1998CH-0000723
                            19980327
       1998EP-0118895
                            1998/1007
DT
       Utility
FS
       APPLICATION
LREP
       DARBY & DARBY P.C.,
                            b,
                               O. BOX 5257, NEW YORK, NY, 10150-5257
       Number of Claims: 15
CLMN
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1309
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The invention relates to a novel process for the preparation of an
AB
       aminoalcohol of the formula
                                     ##STR1##
       racemically or optically active, starting from 2-azabicyclo[2.2.1]hept-5-
       en-3-one, its further conversion to give the corresponding acyl
       derivative and its further conversion to (1S,4R) - or
       (1R, 4S)-4-(2-amino-6-chloro-9-H-purine-9-yl)-2-cyclopentenyl-1-methanol
       of the formulae
                         ##STR2##
       In the latter synthesis, the aminoalcohol is converted into the
       corresponding D- or L-tartrate, which is then reacted with
       N-(2-amino-4,6-dichloropyrimidin-5-yl) form amide of the formula
       ##STR3##
       to give (1S,4R) - or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-
       pyrimidinyl)amino]-2-cyclopentenyl-1-methanol of the formulae
                                                                          ##STR4##
       and then cyclized to give the end compounds.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT
    65942-42-9P
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
     65942-42-9 USPATFULL
RN
CN
     Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (9CI)
       (CA INDEX NAME)
       Relative stereochemistry.
ACNH.
                  ОН
IT
     65942-42-9P
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
TΤ
     122624-72-0P 130931-86-1P 136522-30-0P
      136522-35-5P 168960-18-7P 216481-85-5P
      229177-39-3P 229177-46-2P 229177-49-5P
      229177-52-0P
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
```

IT

168960-19-8 229177-60-0

(preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates)

```
L48 ANSWER 3 OF 13 USPATFULL on STN
       2004:97427 USPATFULL
AN
TI
       Process for the preparation of aminoalcohol derivatives and their
       further_conversion to (1R,4S)-4-((2-amino-6-chloro-5-formamido-4-
       pyr-imidinyl)-amino)-2-cyclopentenyl-1-methanol
IN
       Brieden, Walter, Brig, SWITZERLAND
       Schroer, Josef, Susten, SWITZERLAND
       Bernegger-Egli, Christine, Munster, SWITZERLAND
Urban, Eva Maria, Visp, SWITZERLAND
       Petersen, Michael, Visp, SWITZERLAND
       Roduit, Jean-Paul, Grone, SWITZERLAND
       Berchtold, Katja, Baltschieder, SWITZERLAND
       Breitbach, Holger, Baltschieder, SWITZERLAND
PA
       Lonza AG Basel, SWIPZERLAND (non-U.S. corporation)
ΡI
       US---6723868
                          181
                                20040420
       1998US-0198427
AΙ
                                19981124 (9)
                            19971127
PRAI
       1997CH-0002733
       1997CH-0002781
                            19971203
       1998CH-0000132
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       1998CH-0000723
                            19980327
       1998EP-0118$95
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DT
       Utility
FS
       GRANTED
EXNAM
       Primary Examiner: Meller,
                                  Michael V.
       Darby & Darby
LREP
       Number of Claims: 10
CLMN
ECL
       Exemplary Claim: 1
       0 Drawing Figure(s): 0 Drawing Page(s)
DRWN
LN.CNT 1195
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The invention relates to a novel process for the preparation of an
AΒ
       aminoalcohol of the formula ##STR1##
       racemically or optically active, starting from 2-azabicyclo[2.2.1]hept-5-
       en-3-one, its further conversion to give the corresponding acyl
       derivative and its further conversion to (1S,4R) - or
       (1R, 4S) -4-(2-amino-6-chloro-9H-purine-9-yl) -2-cyclopentenyl-1-methanol
       of the formulae ##STR2##
       In the latter synthesis, the aminoalcohol is converted into the
       corresponding D- or L-tartrate, which is then reacted with
       N-(2-amino-4,6-dichloropyrimidin-5-yl) formamide of the formula ##STR3##
       to give (1S,4R)- or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-
       pyrimidinyl)amino]-2-cyclopentenyl-1-methanol of the formulae ##STR4##
       and then cyclized to give the end compounds.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT
   65942-42-9P
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
RN
     65942-42-9 USPATFULL
    Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (9CI)
CN
       (CA INDEX NAME)
       Relative stereochemistry.
```

```
TТ
     65942-42-9P
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
IT
     122624-72-0P 130931-86-1P 136522-30-0P
      136522-35-5P 168960-18-7P 216481-85-5P
      229177-39-3P 229177-46-2P 229177-49-5P
      229177-52-0P
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
TΤ
     168960-19-8 229177-60-0
        (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug
        intermediates)
L48 ANSWER 6 OF 13 USPATFULL on STN
       2002:17479 USPATFULL
AN
       Process for the preparation of aminoalcohol derivatives and their
TI
       further conversion to (1R, 4S)-4-((2-amino-6-chloro-5-formamido-4-
       pyrimidinyl)-amino)-2-cyclopentenyl-1- methanol
       Brieden, Walter, Brig, SWITZERLAND
IN
       Schroer, Josef, Susten SWITZERLAND
       Bernegger-Egli, Christine, Munster, SWITZERLAND
Urban, Eva Maria, Visp, SWITZERLAND
Petersen, Michael, Visp, SWITZERLAND
       Roduit, Jean-Paul, Grone, SWITZERLAND
Berchtold, Katja, Baltschieder, SWITZERLAND
       Breitbach, Holger, Baltschieder, SWITZERLAND
       Lonza AG, Basel, SWITZE, LAND, CH-4002 (non-U.S. corporation)
PA
ΡI
       US2002010360
                           A1 /
                               20020124
       US---6448402
                           B2/
                                20020910
ΑI
       2001US-0772501
                           A1
                                20010130 (9)
       Division of Ser. No. 1998US-0198427, filed on 24 Nov 1998, PENDING
RLI
       1997CH-0002739
                           19971127
PRAI
                            19971203
       1997CH-0002781
       1998CH-0000133
                            19980121
                            19980327
       1998CH-0000723
       1998EP-0118895
                            19981007
DT
       Utility
FS
       APPLICATION
       Bert J. Lewen, DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
LREP
       Number of Claims: 15
CLMN
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1304
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The invention relates to a novel process for the preparation of an
       aminoalcohol of the formula
                                     ##STR1##
       racemically or optically active, starting from 2-azabi-cyclo[2.2.1] hept-
       5-en-3-one, its further conversion to give the corresponding acyl
       derivative and its further conversion to (1S,4R) - or
       (1R,4S)-4-(2-amino-6-chloro-9-H-purine-9-yl)-2-cyclopentenyl-1-methanol
       of the formulae
                          ##STR2##
       In the latter synthesis, the aminoalcohol is converted into the
       corresponding D- or L-tartrate, which is then reacted with
       N-(2-amino-4,6-dichloropyrimidin-5-yl) formamide of the formula
       ##STR3##
       to give (1S,4R) - or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-
       pyrimidinyl)amino]-2-cyclopentenyl-1-methanol of the formulae ##STR4##
       and then cyclized to give the end compounds.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

IT 65942-42-9P

Relative stereochemistry.

IT 65942-42-9P (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates) IT 122624-72-0P 130931-86-1P 136522-30-0P 136522-35-5P 168960-18-7P 216481-85-5P 229177-39-3P 229177-46-2P 229177-49-5P 229177-52-0P (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates) IT 168960-19-8 229177-60-0 (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug L48 ANSWER 13 OF 13 USPAT2 on STN AN2002:17479 USPAT2 Process for the preparation of aminoalcohol derivatives and their TI further conversion to (1R, 4S)-4-((2-amino-6-chloro-5-formamido-4pyrimidinyl) -amino) -2-cyclopentenyl-1/methanol Brieden, Walter, Brig, SWITZERLAND Schroer, Josef, Susten, SWITZERLAND IN Bernegger-Egli, Christine, Munster, SWITZERLAND Urban, Eva Maria, Visp, SWITZERLAND Petersen, Michael, Visp, SWNTZERLAND Roduit, Jean-Paul, Grone, SWINZERLAND Berchtold, Katja, Baltschieder, SWITZERLAND Breitbach, Holger, Baltschieder, SWITZERLAND Lonza AG, Basel, SWITZERLAND (non-V.S. corporation) PA ΡI 20020910 US---6448402 B2 2001US-0772501 ΑI 2**0**010130 (9 Division of Ser. No. 1998US-0198427 RLI filed on 14 Nov 1998 PRAI 1997CH-0002739 19971127 1997CH-0002781 19971203 1998CH-0000133 19980121 1998CH-0000723 19980327 1998EP-0118895 19981007 DT Utility FS GRANTED EXNAM Primary Examiner: Berch, Mark L. Darby & Darby LREP CLMN Number of Claims: 7 ECL Exemplary Claim: 1 DRWN 0 Drawing Figure(s); 0 Drawing Page(s) LN.CNT 1206 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention relates to a novel process for the preparation of an aminoalcohol of the formula ##STR1##

racemically or optically active, starting from 2-azabicyclo[2.2.1]hept-5-en-3-one, its further conversion to give the corresponding acyl derivative and its further conversion to (1S,4R)-- or (1R,4S)-4-(2-amino-6-chloro-9-H-purine-9-yl)-2-cyclopentenyl-1-methanol of the formulae ##STR2##

In the latter synthesis, the aminoalcohol is converted into the corresponding D- or L-tartrate, which is then reacted with N-(2-amino-4,6-dichloropyrimidin-5-yl)formamide of the formula ##STR3## to give (1S,4R) -- or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4pyrimidinyl)amino]-2-cyclopentenyl-1-methanol of the formulae ##STR4## and then cyclized to give the end compounds. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 65942-42-9P (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates) RN65942-42-9 USPAT2 Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (9CI) CN (CA INDEX NAME) Relative stereochemistry. AcNH. TT 65942-42-9P (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates) IT 122624-72-0P 130931-86-1P 136522-30-0P 136522-35-5P 168960-18-7P 216481-85-5P 229177-39-3P 229177-46-2P 229177-49-5P 229177-52-0P (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates) 168960-19-8 229177-60-0 IT (preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates) => d bib abs hitstr 1 4-5 7-12 L48 ANSWER 1 OF 13 USPATFULL on STN 2004:228019 USPATFULL AN ΤI Methods and compounds for inhibitting MRP1 IN Kroin, Julian, Indianapolis, IN, UNITED STATES Norman, Bryan Hurst, Indianapolis, IN, UNITED STATES York, Jeremy Schulenburg, Indianapolis, IN, UNITED STATES PΙ US2004176405 Α1 20040909 ΑI 2004US-0797362 Αl 20040310 (10) RLT Division of Ser. Wo. 2002US-0130800, filed on 21 May 2002, GRANTED, Pat. No. US---6743794 A 371 of International Ser. No. 2000WO-US32443, filed on 11 Dec 2000, PENDING PRAI 1999US-171373P 19991222 2000US-226076P 20000817 (60) 20000922 (60) 2000US-234539P DTUtility FS APPLICATION ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, LREP 46206-6288 CLMN Number of Claims: /71 ECL Exemplary Claim: DRWN No Drawings

The present invention further relates to a method of inhibiting MRP1 in

LN.CNT 12657

AB

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

a mammal which comprises administering to a mammal in need thereof an effective amount of a compound of formula (I). ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 153011-43-9P

(preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors)

RN 153011-43-9 USPATFULL

CN Carbamic acid, [(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L48 ANSWER 4 OF 13 USPATFULL on STN AN 2003:306989 USPATFULL TI Tricyclic compounds as mrp1-inhibators Lander, Peter Ambrose, Indianapolis, IN, UNITED STATES IN Wang, Quiping, Hamden, CT, WNITED STATES Vepachedu, Sreenivasarao Palo Alto, CA, UNITED STATES US2003216425 20031120 PΤ US---6673809 20040106 ΑI 2003US-0296481 20030416 (10) 2001WO-US16475 20018601 DT Utility FS APPLICATION LREP ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288 CLMN Number of Claims: ECL Exemplary Claim: 1 No Drawings DRWN LN.CNT 1612 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB ##STR1##

The present invention relates to a compounds of formula I, wherein A is olefin, diol, or acetonide; which are useful for inhibiting resistant neoplasms where the resistance is conferred in part or in total by MRP1.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 216481-83-3P

(preparation of 5H-isoxazolo[4,3-c]quinolin-4-ones as MRP1 inhibitors)

RN 216481-83-3 USPATFULL

CN Carbamic acid, [4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L48 ANSWER 5 OF 13 USPATFULL on STN

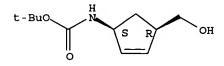
AN 2003:146829 USPATFULL

TI Methods and compounds for inhibiting mrp1

IN Bonjouklian, Rosanne, Zionsville, IN, UNITED STATES

Cohen, Jeffrey Daniel, Indianapolis, IN, UNITED STATES Gruber, Joseph Michael, Brownsburg, IN, UNITED STATES Johnson, Douglas Webb, Zionsville, IN, UNITED STATES Jungheim, Louis Nickolaus, Indianapolis, IN, UNITED STATES Kroin, Julian Stanley, Indianapolis, IN, UNITED STATES Lander, Peter Ambrose, Indianapolis, IN, UNITED STATES Lin, Ho-Shen, Indianapolis, IN, UNITED STATES Lohman, Mark Christopher, Boulder, CO, UNITED STATES Muehl, Brian Stephen, Greenwood, IN, UNITED STATES Norman, Bryan Hurst, Indianpolis, IN, UNITED STATES Patel, Vinod Francis, Acton, MA, UNITED STATES Richett, Michael Enrico, Indianapolis, IN, UNITED STATES Thrasher, Kenneth Jeff, Indianapolis, IN, UNITED STATES Vepachedu, Sreenivasarao, Palo Alto, CA, UNITED STATES White, Wesley Todd, Indianpolis, IN, UNITED STATES Xie, Yongping, Naperville, IL, UNITED STATES York, Jeremy Schulenburg, Indianapolis, IN, UNITED STATES Parkhurst, Brandon Lee, Indianapolis, IN, UNITED STATES PΤ US2003100576 **A1** 20030529 US---6743794 20040601 **B2** 2002US-0130800 AΤ A1 20020521 (10) 2000WO-US32443 20001211 DT Utility APPLICATION FS LREP ELI LILLY AND COMPANY, PATENT DIVISION, P.O. BOX 6288, INDIANAPOLIS, IN, 46206-6288 CLMN Number of Claims: 71 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 14296 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AΒ The present invention further relates to a method of inhibiting MRP1 in a mammal which comprises administering to a mammal in need thereof an effective amount of a compound of formula (I). CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 153011-43-9P (preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors) RN 153011-43-9 USPATFULL Carbamic acid, [(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, CN 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



ANSWER 7 OF 13 USPATFULL on STN

K

L48

AN 93:33687 USPATFULL

TI 4-amino-2-cyclopentene-1-methanol
IN Daluge, Susan M., Chapel Hill, NC, United States
PA Burroughs Wellcome Co., Research Triangle Park, NC, United States (U.S. corporation)
PI US--5206435 19910927 (7)
RLI Division of Ser. No. 1990US-0630129, filed on 19 Dec 1990, now patented Pat. No. US--5087697 which is a continuation-in-part of Ser. No.

RLI Division of Ser. No. 1990US-0630129, filed on 19 Dec 1990, now patented, Pat. No. US---5087697 which is a continuation-in-part of Ser. No. 1989US-0455201, filed on 22 Dec 1989, now patented, Pat. No. US---5034394 which is a continuation-in-part of Ser. No. 1989US-0371870, filed on 26 Jun 1989, now abandoned

PRAI 1988GB-0015265 19880627

DT Utility FS Granted

EXNAM Primary Examiner: Tsang, Cecilia

LREP Brown, Donald, Nielsen, Lawrence A., Green, Hannah O.

CLMN Number of Claims: 4 ECL Exemplary Claim: 1,4

DRWN No Drawings

LN.CNT 1592

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to 6-substituted purine carbocyclic nucleosides and their use in medical therapy particularly in the treatment of HIV and HBV infections. The invention also relates to pharmaceutical formulations and processes for the preparation of compounds according to the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 122624-72-0P

(preparation of, as intermediate for virucide)

RN 122624-72-0 USPATFULL

CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



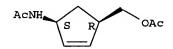
IT 61865-50-7

(saponification of)

RN 61865-50-7 USPATFULL

CN Acetamide, N-[(1R,4S)-4-[(acetyloxy)methyl]-2-cyclopenten-1-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L48 ANSWER 8 OF 13 USPATFULL on STN

AN 92:12958 USPATFULL

TI Therapeutic nucleosides

IN Daluge, Susan M., Chapel Hill, NC, United States

Burroughs Wellcome Co., Research Triangle Park, NC, United States (U.S.

corporation)
PI US-- (5089500

US-- 5089500 19920218

1991US-0697260 19910508 (7)

RLI Continuation of Ser. No. 1989US-0455201, filed on 22 Dec 1989, now

patented, Pat. No. US---5034394 which is a continuation of Ser. No.

1989US-0371870, filed on 26 Jun 1989, now abandoned

PRAI 1988GB-0015265 19880627

DT Utility

ÞΑ

FS Granted

EXNAM Primary Examiner: Shen, Cecilia

LREP Brown, Donald, Nielsen, Lawrence A., Green, Hannah O.

CLMN Number of Claims: 17

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1563

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to 6-substituted purine carbocyclic nucleosides and their use in medical therapy particularly in the treatment of HIV and HBV infections. Also provided are pharmceutical formulations and processes for the preparation of compounds according to the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

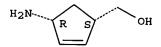
IT 122624-72-0P

(preparation of, as intermediate for virucide)

RN 122624-72-0 USPATFULL

CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



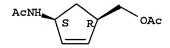
IT 61865-50-7

(saponification of)

RN 61865-50-7 USPATFULL

CN Acetamide, N-[(1R,4S)-4-[(acetyloxy)methyl]-2-cyclopenten-1-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L48 ANSWER 9 OF 13 USPATFULL on STN

AN 92:10941 USPATFULL

TI Therapeutic nucleosides

IN Daluge, Susan M., Chapel Hill, NC, United States

Burroughs Wellcome Co., Research Triangle Park, NC, United States (U.S.

corporation)

PI US-- 5087697 19920211

AI 1990US-0630129 19901219 (7)

RLI Continuation-in-part of Ser. No. 1989US-0455201, filed on 22 Dec 1989

which is a continuation-in-part of Ser. No. 1989US-0371870, filed on 26

Jun 1989, now abandoned

PRAI 1988GB-0015265 19880627

DT Utility

FS Granted

EXNAM Primary Examiner: Shen, Cecilia

LREP Brown, Donald, Nielsen, Lawrence A., Green, Hannah O.

CLMN Number of Claims: 9

ECL Exemplary Claim: 1,9

DRWN No Drawings

LN.CNT 1607

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to 6-substituted purine carbocyclic nucleosides and their use in medical therapy particularly in the treatment of HIV and HBV infections. The invention also relates to pharmaceutical formulations and processes for the preparation of compounds according to the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 122624-72-0P

(preparation of, as intermediate for virucide)

RN 122624-72-0 USPATFULL

CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

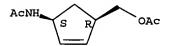
IT 61865-50-7

(saponification of)

RN 61865-50-7 USPATFULL

CN Acetamide, N-[(1R,4S)-4-[(acetyloxy)methyl]-2-cyclopenten-1-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L48 ANSWER 10 OF 13 USPATFULL on STN AN 91:58937 USPATFULL

TI Therapeutic nucleosides

IN Daluge, Susan M., Chapel Hill, NC, United States

PA Burroughs Wellcome Co., Research Triangle Park, NC, United States (U.S.

corporation)

PI US-- (5034394) 19910723 AI 1989US-0455201 19891222 (7)

RLI Continuation-in-part of Ser. No. 1989US-0371870, filed on 26 Jun 1989,

now abandoned

PRAI 1988GB-0015265 19880627

DT 'Utility

FS Granted

EXNAM Primary Examiner: Shen, Cecilia

LREP Brown, Donald, Nielsen, Lawrence A., Green, Hannah O.

CLMN Number of Claims: 20

ECL Exemplary Claim: 1,18

DRWN No Drawings

LN.CNT 1548

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to 6-substituted purine carbocyclic nucleosides and their use in medical therapy particularly in the treatment of HIV and HBV infections. Also provided are pharmaceutical formulations and processes for the preparation of compounds according to the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 122624-72-0P 136470-89-8P 136522-35-5P,

(1S, 4R) -4-Amino-2-cyclopentene-1-methanol 136597-78-9P

138923-02-1P

(preparation of, as intermediate for antiviral agents)

RN 122624-72-0 USPATFULL

CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



136470-89-8 USPATFULL RN

CN 2-Cyclopentene-1-methanol, 4-amino-, cis-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 122624-72-0 CMF C6 H11 N O

Relative stereochemistry.

CM

CRN 64-19-7 CMF C2 H4 O2

RN 136522-35-5 USPATFULL

CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN136597-78-9 USPATFULL CN

Butanedioic acid, 2,3-bis(benzoyloxy)-, (2S,3S)-, compd. with (1S,4R)-4-amino-2-cyclopentene-1-methanol (1:2) (9CI) (CA INDEX NAME)

CM

CRN 136522-35-5

CMF C6 H11 N O

CDES \*

Absolute stereochemistry. Rotation (-).

CM 2

CRN 17026-42-5 CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).

noble jarrell 21/06/2006

RN 138923-02-1 USPATFULL

CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [R-(R\*,R\*)]-, compd. with (1S-cis)-4-amino-2-cyclopentene-1-methanol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 136522-35-5 CMF C6 H11 N O

CDES \*

Absolute stereochemistry. Rotation (-).

CM 2

CRN 2743-38-6 CMF C18 H14 O8

Absolute stereochemistry. Rotation (-).

IT 61865-50-7

(reaction of, in preparation of antiviral agents)

RN 61865-50-7 USPATFULL

CN Acetamide, N-[(1R,4S)-4-[(acetyloxy)methyl]-2-cyclopenten-1-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

```
L48
     ANSWER 11 OF 13 USPAT2 on STN
AN
       2003:306989 USPAT2
TI
       Tricyclic compounds as MRP1-inhibitors
TN
       Lander, Peter Ambrose, Indianapolis, IN, United States
       Wang, Qiuping, Hamden, CT, United States
Vepachedu, Sreenivasarao, Palo Alto, CA, United States
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
PA
       corporation)
                                  20040106
PΙ
       US---6673809
                            B2
       WO2001096346 20011220
ΑĬ
       2003US-0296481
                                  20030416
                                 \20010601
       2001WO-US16475
PRAI
       2000US-211430P
                              200006<u>14</u> (60)
DT
       Utility
FS
       GRANTED
       Primary Examiner: Aulakh, Charanjit S.
Tucker, Tina M., McGraw, Elizabeth, Lee, Kirby W.
EXNAM
LREP
       Number of Claims: 9
CLMN
       Exemplary Claim:-1-
ECL
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 1717
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention relates to a compounds of formula I, wherein A is
AB
       olefin, diol, or acetonide; which are useful for inhibiting resistant
       neoplasms where the resistance is conferred in part or in total by MRP1.
       ##STR1##
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 216481-83-3P
         (preparation of 5H-isoxazolo[4,3-c]quinolin-4-ones as MRP1 inhibitors)
RN
     216481-83-3 USPAT2
     Carbamic acid, [4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl
CN
                     (CA INDEX NAME)
       ester (9CI)
```

L48 ANSWER 12 OF 13 USPAT2 on STN AN 2003:146829 USPAT2 TI Methods and compounds for inhibiting MRP1 Bonjouklian, Rosanne, Zionsville, IN, United States IN Cohen, Jeffrey Daniel, Indianapolis, IN, United States Gruber, Joseph Michael, Brownsburg, IN, United States Johnson, Douglas Webb, Zionsville, IN, United States Jungheim, Louis Nickolaus, Indianapolis, IN, United States Kroin, Julian Stanley, Indianapolis, IN, United States Lander, Peter Ambrose, Indianapolis, IN, United States Lin, Ho-Shen, Indianapolis, IN, United States Lohman, Mark Christopher, Boulder, CO, United States Muehl, Brian Stephen, Greenwood, IN, United States Norman, Bryan Hurst, Indianapolis, IN, United States Patel, Vinod Francis, Acton, MA, United States

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Richett, Michael Enrico, Indianapolis, IN, United States
       Thrasher, Kenneth Jeff, Indianapolis, IN, United States
       Vepachedu, Sreenivasarao, Palo Alto, CA, United States
       White, Wesley Todd, Indianapolis, IN, United States
       Xie, Yongping, Naperville, IL, United States
       York, Jeremy Schulenburg, Indianapolis, IN, United States
       Parkhurst, Brandon Lee, Indianapolis, IN, United States
       Wang, Qiupang, Hamden, CT, United States
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
PA
       corporation)
       US---6743794
PΤ
                          B2
                               20040601
                     20020628
       WO2001046199
                               29020521 (10)
ΑI
       2002US-0130800
       2000WO-US32443
                                20001211
       1999US-171373P
PRAI
                            19991222 (60)
       2000US-226076P
                           20080817 (60)
       2000US-234539P
                           20000928 (60)
DT
       Utility
FS
       GRANTED
EXNAM
       Primary Examiner: Huang, Evelyn Mei
       Tucker, Tina M., McGraw, Elizabeth
LREP
       Number of Claims: 39
CLMN
ECL
       Exemplary Claim: 1
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 11329
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       The present invention further relates to a method of inhibiting MRP1 in
       a mammal which comprises administering to a mammal in need thereof an
       effective amount of a compound of formula (I). ##STR1##
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 153011-43-9P
        (preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as
        MRP1 inhibitors)
RN
     153011-43-9 USPAT2
     Carbamic acid, [(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-,
CN
       1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
       Absolute stereochemistry. Rotation (+).
t-BuO
=> d his
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     FILE 'HCAPLUS' ENTERED AT 10:12:08 ON 21 JUN 2006
              1 (US2004142436 OR US6723868)/PN OR (US2003-695930 OR CH1998-1188
L1
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E BRIEDEN W/AU
L2
              36 E4
                 E SCHROER J/AU
LЗ
              21 E3-5,E11-14
                 E BERNEGGER/AU
               9 E6,E8
L4
                 E URBAN E/AU
              24 E3
1.5
                E URBAN EVA/AU
L6
               7 E3-4
                E PETERSEN M/AU
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            158 E3-21
                E PETERSEN MI/AU
L8
             118 E4-20
                 E RODUIT J/AU
L9
             38 E4-5
                 E BERCHTOLD K/AU
             10 E3-4,E8
1.10
                E BREITBACH H/AU
L11
               7 E3-4,E8
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     FILE 'HCAPLUS' ENTERED AT 10:16:57 ON 21 JUN 2006
L12
                TRA L1 1- RN :
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L13
             22 SEA L12
L14
             17 L13 AND C5/ES
L15
                STR
L16
              1 L15 CSS
L17
             62 L15 CSS FULL
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L18
              9 L14 AND C6H11NO
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L19
             88 L17
L20
              9 CYCLOPENTENE (1A) AMINO (1A) METHANOL OR AMINO (1A) CYCLOPENTENEME
L21
              7 L19-20 AND L1-11
L22
             64 L19-20 (L) PREP+NT/RL
              5 L22 AND L21
L23
L24
              7 L21, L23
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L25
              5 L13 NOT L14
                E TARTARIC ACID/CN
L26
              1 E3
L27
            484 C4H6O6 AND TARTAR?
L28
            407 L27 NOT PMS/CI
L29
            297 L28 NOT (COMPD OR COMPOUND OR UNSPECIFIED)
L30
            287 L29 NOT ESTER
L31
              4 C4H6O6 AND L17
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L32
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L33
          28022 L30
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L34
L35
             26 DIHYDROXYSUCCINIC (1A)ACID
L36
             13 "E334" OR E 334 OR THEARIC ACID
              1 L31 AND L1-11
L37
L38
              7 L24, L37
                E TARTARIC/CT
                E E4+ALL
L39
          26604 E11+NT
L40
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L41
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L42
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L43
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L44
L45
              2 L41, L44
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L47
             68 L17
L48
             13 L47 AND L33-36
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E TARTARIC ACID/CT

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